

In the Specification:

Please amend paragraph [00221] as follows:

[00221] In order to demonstrate the suitability of the organophosphorus-based coupling reagents and compare their performance with that of the corresponding uronium/guanidinium analogs in solid phase syntheses, several syntheses of the ACP decapeptide segment 65-74 (H-Val-Gln-Ala-Ala-Asp-Tyr-Ile-Asn-Gly-NH<sub>2</sub>) (~~SEQ ID NO. 2~~) (SEQ ID NO:2) were carried out.

Please amend paragraph [00222] as follows:

[00222] The protocol is as follows: 150 mg of Fmoc-Gly-PAL-PEG-PS resin (0.19 mmol/g, 0.0285 mmol) in a 10-ml disposable syringe fitted with a Teflon filter was washed with CH<sub>2</sub>Cl<sub>2</sub> (3 x 10 ml) and DMF (3 x 10 ml) and deprotected with 20% piperidine in DMF (10 ml) for 7 min. The deprotected resin was washed with DMF (3 x 10 ml), CH<sub>2</sub>Cl<sub>2</sub> (3 x 10 ml) and again DMF (3 x 10 ml). Preactivation was carried out for 7 min using 25.5 mg (0.04 mmol, 1.5 equiv) of Fmoc-Asn(Trt)-OH, 15.75 mg (0.04 mmol, 1.5 equiv) of DPOPOAt and 14.89 µl (0.09 mmol, 3 equiv) of DIEA (diisopropylethylamine) in 0.15 ml of DMF in a 4-ml vial. Following the requisite preactivation period (7 min), the solution of the activated amino acid was added to the resin. The small vial was washed with 0.04 ml of DMF, and the washing was also added to the above resin. The resulting resin mixture was allowed to react at room temperature for 1.5 min.. The loaded resin was washed with DMF (3 x 10 ml) and the Fmoc group was deblocked with 10 ml of 20% of piperidine in DMF for

7 min. Washing the deblocked resin with DMF (3 x 10 ml), CH<sub>2</sub>Cl<sub>2</sub> (3 x 10 ml) and DMF (3 x 10 ml) was followed by an analogous coupling step with Fmoc-Ile-OH. Other amino acids were coupled similarly and after the last coupling with Fmoc-Val-OH and deblocking of the Fmoc group with 20% piperidine in DMF, the loaded resin was washed with DMF (3 x 10 ml), CH<sub>2</sub>Cl<sub>2</sub> (3 x 10 ml), EtOH (5 ml) and ether (5 ml). The resin was then treated with 10 ml of 90% aqueous trifluoroacetic acid for 2 hours, filtered, and washed on the filter with 10 ml of 10% trifluoroacetic acid in CH<sub>2</sub>Cl<sub>2</sub> and 10 ml of CH<sub>2</sub>Cl<sub>2</sub>. The combined filtrates were evaporated to dryness. The crude product was washed four times with anhydrous ether and separated by centrifugation. The yield was calculated by the weight of the crude product. For analysis 1 mg of the crude product was dissolved in 1 ml of 0.1% aqueous trifluoroacetic acid and injected directly onto the HPLC column for analysis. The procedure was repeated using the same coupling agent until the peptide of ~~SEQ ID NO: 2~~ SEQ ID NO:2 was prepared.

Please amend paragraph [00223] as follows:

**[00223]** This procedure was repeated using each of the coupling agents listed in Table 3 for the preparation of the peptide of ~~SEQ ID NO: 2~~ SEQ ID NO:2. The results are given in Table 3.

Please amend paragraph [00254] as follows:

**[00254]** In order to demonstrate the suitability of the new HODhat-based coupling reagent HDATU and compare its performance with that of the corresponding guanidinium/uronium analogs N-HATU and HDTU in

solid-phase syntheses, 30 syntheses of the ACP segment H-Val-Gln-Ala-Ala-Ile-Asp-Tyr-Ile-Asn-GlyNH<sub>2</sub>, (~~SEQ-ID No. 2~~) (SEQ ID NO:2) were carried out by an Fmoc/*tert*-butyl protection scheme as described in Example 22. Polyethylene glycol-polystyrene (PEGPS)-resin bearing Fmoc-glycine was used as solid support. Peptide elongation was performed manually, coupling times being shortened and excesses of reagents being reduced in order to bring out the differences among the various coupling reagents studied. Under these conditions, incomplete incorporations were detected for Asn onto Gly, Ile onto Asn, Ile onto Asp, and Val onto Gln. Peptide purity was judged by reverse-phase HPLC analysis, after cleavage from the resin with TFA-H<sub>2</sub>O (9:1) for 2 hours at room temperature. The results are collected in Table 12.

Please amend paragraph [00265] as follows:

[00265] Utilizing the procedure of Example 29, and utilizing CBZ-Gly-Gly-Val-OH and H-Ala-Gly-Gly-PAL-Peg, CBZ-Gly-Gly-Val-Ala-Gly-Gly-PAL-PEG (~~Sequence ID 4~~) (SEQ ID NO:4) was formed using t-Bu-DtP-OAt of the present invention and O-HATU. The amount of loss of configuration was determined by measuring the amount of LDL epimer formed. The results are indicated in Table 15 hereinbelow.